

Ser. No. 09/820,438

B'

R_3 is H, $-\text{SO}_2$ (C_{1-6} alkyl), $-\text{SO}_2$ phenyl, $(\text{C}=\text{O})(\text{C}_{1-6}$ alkyl), or $-\text{W}'\text{Z}'$;

W' is a covalent bond, $(\text{C}=\text{O})$, SO_2 , or C_{1-6} alkyl;

Z' is C_{1-6} alkyl, C_{1-6} alkoxy, C_{3-8} cycloalkyl, ~~phenyl~~, or a heterocyclic radical selected from the group consisting of thiazoyl, furyl, pyran, isobenzofuranyl, pyrrolyl, imidazolyl, pyrazolyl, isothiazolyl, isoxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indoliziny, isoindolyl, indolyl, indazolyl, purinyl, quinolyl, furazanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazoliny, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, indolinyl, and morpholinyl C_{2-6} heterocyclic radical, optionally including in the ring up to 3 additional heteroatoms or moieties independently selected from O, N, NH, S, SO, and SO_2 ; or Z' is $\text{NR}_{13}\text{R}_{14}$ where each of R_{13} and R_{14} is independently selected from C_{1-6} alkyl, C_{2-6} alkenyl, phenyl, benzyl, C_{3-8} cycloalkyl, and a heterocyclic radical selected from the group consisting of thiazoyl, furyl, pyran, isobenzofuranyl, pyrrolyl, imidazolyl, pyrazolyl, isothiazolyl, isoxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indoliziny, isoindolyl, indolyl, indazolyl, purinyl, quinolyl, furazanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazoliny, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, indolinyl, and morpholinyl C_{2-5} heterocyclic radical; each of R_5 , R_6 , R_7 and R_8 is independently H, C_{1-6} alkyl, C_{1-6} alkoxy, halo, nitro, or amino;

one of R_a , R_b , R_c , R_d , and R_e is WZ and the others are independently selected from H, C_{1-6} alkyl, C_{1-6} alkoxy, halo, nitro, and amino;

W is $-\text{O}-$, R_9 , $\text{O}-\text{R}_9$, NR_{10} , $-(\text{CO})(\text{O})\text{R}_9$, $-\text{O}(\text{CO})\text{R}_9$,

$-(\text{CO})\text{NR}_{10}$, or $-\text{N}(\text{R}_{10})-\text{CO}-\text{R}_9$, wherein R_9 is C_{1-6} alkylene, C_{2-6} alkynylene, C_{2-6} alkenylene, phenylene, or C_{2-5} a heterocyclic bivalent radical selected from the group consisting of thiazoyl, furyl, pyran, isobenzofuranyl, pyrrolyl, imidazolyl, pyrazolyl, isothiazolyl, isoxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indoliziny, isoindolyl, indolyl, indazolyl, purinyl, quinolyl, furazanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazoliny, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, indolinyl, and morpholinyl, and R_{10}

Ser. No. 09/820,438

B'

is H, C₁₋₆ alkyl, C₂₋₆ alkynyl, C₂₋₆ alkenyl, phenyl, or a heterocyclic radical selected from the group consisting of thiazoyl, furyl, pyran, isobenzofuranyl, pyrrol, imidazol, pyrazol, isothiazol, isoxazol, pyrid, pyrazin, pyrimidin, pyridazin, indolizin, isoindol, indol, indazol, purin, quinol, furazan, pyrrolidin, pyrrolin, imdazolidin, imidazol, pyrazolidin, pyrazolin, piperid, piperazin, indolin, and morpholinC₂₋₅ ~~heterocyclic radical~~;

Z is a heterocyclic radical selected from the group consisting of thiazoyl, furyl, pyran, isobenzofuranyl, pyrrol, imidazol, pyrazol, isothiazol, isoxazol, pyrid, pyrazin, pyrimidin, pyridazin, indolizin, isoindol, indol, indazol, purin, quinol, furazan, pyrrolidin, pyrrolin, imdazolidin, imidazol, pyrazolidin, pyrazolin, piperid, piperazin, indolin, and morpholinC₂₋₈ ~~heterocyclic radical with at least one basic nitrogen atom in the ring, optionally including in the ring up to 3 additional heteroatoms or moieties independently selected from O, C=O, N, NH, NC, S, SO, and SO₂, wherein G is R₁₅, COR₁₅, COOR₁₅, SO₂R₁₅, SO₂N, CSR₁₅~~; or Z is NR₁₁R₁₂ where each of R₁₁ and R₁₂ is independently selected from H, C₁₋₆ alkyl, phenyl, benzyl, C₃₋₈ cycloalkyl, and a heterocyclic radical selected from the group consisting of thiazoyl, furyl, pyran, isobenzofuranyl, pyrrol, imidazol, pyrazol, isothiazol, isoxazol, pyrid, pyrazin, pyrimidin, pyridazin, indolizin, isoindol, indol, indazol, purin, quinol, furazan, pyrrolidin, pyrrolin, imdazolidin, imidazol, pyrazolidin, pyrazolin, piperid, piperazin, indolin, and morpholinC₂₋₅ ~~heterocyclic radical~~; or NR₁₁R₁₂ taken together is a C₆₋₈ cycloalkylimino radical; and R₁₅ is C₁₋₆ alkyl, C₂₋₆ alkynyl, C₂₋₆ alkenyl, C₃₋₇ cycloalkyl, and C₄₋₇ cycloalkenyl; each of the above hydrocarbonyl or heterocyclic groups being optionally substituted with between 1 and 3 substituents selected from C₁₋₃ alkyl, C₁₋₃ alkoxy, halo, hydroxy, phenyl, and phenyl(C₁₋₃ alkyl); and wherein each of the above heterocyclic groups may be

Ser. No. 09/820,438

attached to the rest of the molecule by a carbon atom or a heteroatom;

provided that R_b , R_d , R_5 , R_6 , R_7 and R_8 , if halo, are selected from chloro;

or a pharmaceutically acceptable salt, amide, ester, or hydrate thereof.

B'

2. (original) A compound of claim 1, wherein R_3 is H or C_{1-3} alkyl.
3. (original) A compound of claim 1, wherein R_3 is $-(C=O)C_{1-6}$ alkyl.
4. (original) A compound of claim 1, wherein R_3 is $-SO_2(C_{1-3}$ alkyl).
5. (original) A compound of claim 4 wherein R_3 is methylsulfonyl.
6. (original) A compound of claim 1, wherein W' is a covalent bond.
7. (original) A compound of claim 1, wherein W' is SO_2 or $(C=O)$.
8. (original) A compound of claim 1, wherein R_c is WZ.
9. (original) A compound of claim 1, wherein R_b or R_d is WZ.
10. (original) A compound of claim 1, wherein W is ethoxy, propoxy, or butoxy.
11. (original) A compound of claim 1, wherein W is $-O-$.
12. (original) A compound of claim 1, wherein one of R_b , R_c , and R_e is WZ and the others are independently selected from H, methyl, ethyl, methoxy, ethoxy, amino, nitro, and halo; and R_a and R_d are each independently H or methyl.

Ser. No. 09/820,438

13. (original) A compound of claim 1, wherein at least two of the following apply: R_c is WZ; W is propoxy or ethoxy; and Z is N-piperidino, 2-(N-methyl)pyrrolidino, or N,N-dimethyl.
14. (currently amended) A compound of claim 1, wherein Z is pyrrolidino, N-methyl-pyrrolidino, pyridyl, thiazoyl, piperidino, or $NR_{11}R_{12}$ where each of R_{11} and R_{12} is independently selected from H, C₁₋₆ alkyl, phenyl, benzyl, C₃₋₆ cycloalkyl, and a heterocyclic radical selected from the group consisting of thiazovyl, furyl, pyranyl, isobenzofuranyl, pyrrolyl, imidazolyl, pyrazolyl, isothiazolyl, isoxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indoliziny, isoindolyl, indolyl, indazolyl, purinyl, quinolyl, furazanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazoliny, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, indolinyl, and morpholinylC₂₋₅ heterocyclic radical or taken together with the N form a C₆₋₈ cycloalkylamino radical.
15. (currently amended) A compound of claim 1, wherein one of R_b , R_c , and R_e is WZ and the others are independently selected from H, methyl, ethyl, methoxy, ethoxy, amino, and halo; and R_a and R_d are each independently H or methyl;
W is -O- or C₁₋₃ alkoxy;
Z is pyrrolidino, N-methylpyrrolidino, pyridyl, thiazoyl, piperidino, piperazino, N-methylpiperazino, or $NR_{11}R_{12}$ where each of R_{11} and R_{12} is independently selected from H, C₁₋₂ alkyl, phenyl, benzyl, C₃₋₈ cycloalkyl, and a heterocyclic radical selected from the group consisting of thiazovyl, furyl, pyranyl, isobenzofuranyl, pyrrolyl, imidazolyl, pyrazolyl, isothiazolyl, isoxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indoliziny, isoindolyl, indolyl, indazolyl, purinyl, quinolyl, furazanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazoliny, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, indolinyl, and morpholinylC₂₋₅ heterocyclic radical; each of R_6 and R_7 are each independently H, methyl, methoxy, or ethoxy; each of R_5 and R_8 is H.

Ser. No. 09/820,438

B'

16. (original) A compound of claim 15, wherein R_3 is H or $-SO_2$ (C_{1-6} alkyl).
17. (original) A compound of claim 15, wherein R_3 is SO_2 (phenyl) and $(C=O)(C_{1-6}$ alkyl).
18. (original) A compound of claim 15, selected from 2-[4-[2-[1-(methyl)-2-pyrrolidinyl]ethoxy]phenyl]-1H-indole, 2-[4-[2-[1-(methyl)-2-pyrrolidinyl]ethoxy]phenyl]-1-(methylsulfonyl)-1H-indole, and 2-[4-[3-Piperidinopropoxy]phenyl]-1H-indole;) 2-(4-(3-(4-methylpiperazino)propoxy)-phenyl)indole; and 1-(methylsulfonyl)-2-(4-(3-(4-methylpiperazino)-propoxy)phenyl)indole; or a pharmaceutically acceptable salt, amide, ester, or hydrate thereof.
19. (original) A compound of claim 15, selected from 2-[4-[3-Piperidinopropoxy]phenyl]-1-(methylsulfonyl)-1H-indole, and 2-[3-[3-Piperidinopropoxy]phenyl]-1-(methylsulfonyl)-1H-indole or a pharmaceutically acceptable salt, amide, ester, or hydrate thereof.
20. (original) A pharmaceutical composition comprising a compound of formula (I)B and a pharmaceutically acceptable carrier.
21. (currently amended) A pharmaceutical composition of claim 20, wherein said compound has a formula wherein: one of R_b , R_c , and R_e is WZ and the others are independently selected from H, methyl, ethyl, methoxy, ethoxy, amino, and halo;
 R_a and R_d are each independently H or methyl;
W is -O- or C_{1-3} alkoxy;
Z is pyrrolidino, N-methylpyrrolidino, pyridyl, thiazoyl, piperidino, or $NR_{11}R_{12}$ where each of R_{11} and R_{12} is independently selected from H, C_{1-2} alkyl, phenyl, benzyl, C_{3-8} cycloalkyl, and a heterocyclic radical selected from the group consisting of thiazoyl, furyl, pyran, isobenzofuran, pyrrol, imidazol, pyrazol, isothiazol,

Ser. No. 09/820,438

31
isoxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolizinyl,
isoindolyl, indolyl, indazolyl, purinyl, quinolyl, furazanyl, pyrrolidinyl,
pyrrolinyl, imdazolidinyl, imidazolanyl, pyrazolidinyl, pyrazolinyl,
piperidyl, piperazinyl, indolinyl, and morpholinyl C₂₋₆ heterocyclic
radical; and

R₆ and R₇ are each independently H, methyl, methoxy, or ethoxy.

22. (original) A pharmaceutical composition of claim 21, wherein said compound has a formula selected from 2-[4-[2-[1-(methyl)-2-pyrrolidinyl]ethoxy]phenyl]-1H-indole; 2-[4-[2-[1-(methyl)-2-pyrrolidinyl]ethoxy]phenyl]-1-(methylsulfonyl)-1H-indole; 2-[4-[3-Piperidinopropoxy]phenyl]-1H-indole; 2-[4-[3-Piperidinopropoxy]phenyl]-1-(methylsulfonyl)-1H-indole; 2-[3-[3-Piperidinopropoxy]phenyl]-1-(methylsulfonyl)-1H-indole; 2-(4-(3-(4-methylpiperazino)propoxy)-phenyl)indole; and 1-(methylsulfonyl)-2-(4-(3-(4-methylpiperazino)propoxy)phenyl)indole; or a pharmaceutically acceptable salt, amide, ester, or hydrate thereof.
23. (cancelled)
24. (cancelled)
25. (cancelled)
26. (cancelled)
27. (cancelled)
28. (cancelled)
29. (cancelled)
30. (cancelled)